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NEWS 10 AUG 27 CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
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NEWS 12 SEP 25 CA/CAplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS 13 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS 14 SEP 29 IFICLS enhanced with new super search field
NEWS 15 SEP 29 EMBASE and EMBAL enhanced with new search and display fields
NEWS 16 SEP 30 CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS 17 OCT 07 EPFULL enhanced with full implementation of EPC2000
NEWS 18 OCT 07 Multiple databases enhanced for more flexible patent number searching
NEWS 19 OCT 22 Current-awareness alert (SDI) setup and editing enhanced
NEWS 20 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS 21 OCT 24 CHEMLIST enhanced with intermediate list of pre-registered REACH substances
NEWS 22 NOV 21 CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present

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=> e oreste pasqua/au
E1            1     ORESTE LIVI/AU
E2           11     ORESTE P/AU
E3           29 --> ORESTE PASQUA/AU
E4            6     ORESTE PASQUA ANNA/AU
E5            1     ORESTE PIER LUIGI/AU
E6            4     ORESTE PIERLUIGI/AU
E7            7     ORESTE U/AU
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            29 "ORESTE PASQUA"/AU
             6 "ORESTE PASQUA ANNA"/AU
L1          46 ("ORESTE P"/AU OR "ORESTE PASQUA"/AU OR "ORESTE PASQUA ANNA"/AU)

=> e zoppetti giorgio/au
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E5           1     ZOPPI A L/AU
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E7           1     ZOPPI ALESSIO/AU
E8          10     ZOPPI ANGELA/AU
E9          56     ZOPPI ANNALISA/AU
E10          2     ZOPPI ARIANA/AU
E11          3     ZOPPI C/AU
E12          2     ZOPPI CAMILLA/AU

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L2          69 ("ZOPPETTI G"/AU OR "ZOPPETTI GIORGIO"/AU)

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L3          79 L1 OR L2

=> l3 and degree and sulfation
       4499235 DEGREE
        112475 DEGREES
       4581522 DEGREE
                 (DEGREE OR DEGREES)
         11183 SULFATION
            43 SULFATIONS
         11196 SULFATION
                 (SULFATION OR SULFATIONS)
L4          11 L3 AND DEGREE AND SULFATION

=> d l4 1-11 ibib abs kwic

L4   ANSWER 1 OF 11  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2008:452050  CAPLUS
DOCUMENT NUMBER:         149:561
TITLE:                   Sulfated K5 Escherichia coli polysaccharide
                         derivatives as wide-range inhibitors of genital types
                         of human papillomavirus
AUTHOR(S):               Lembo, David; Donalisio, Manuela; Rusnati, Marco;
                         Bugatti, Antonella; Cornaglia, Maura; Cappello, Paola;
                         Giovarelli, Mirella; Oreste, Pasqua;
                         Landolfo, Santo
CORPORATE SOURCE:        Department of Clinical and Biological Sciences, San
                         Luigi Gonzaga Hospital, University of Turin, Turin,
                         10043, Italy
SOURCE:                  Antimicrobial Agents and Chemotherapy (2008), 52(4),
                         1374-1381
                         CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER:               American Society for Microbiology
```

DOCUMENT TYPE: Journal
LANGUAGE: English
AB Genital human papillomaviruses (HPV) represent the most common sexually transmitted agents and are classified into low or high risk by their propensity to cause genital warts or cervical cancer, resp. Topical microbicides against HPV may be a useful adjunct to the newly licensed HPV vaccine. A main objective in the development of novel microbicides is to block HPV entry into epithelial cells through cell surface heparan sulfate proteoglycans. In this study, selective chemical modification of the Escherichia coli K5 capsular polysaccharide was integrated with innovative biochem. and biol. assays to prepare a collection of sulfated K5 derivs. with a backbone structure resembling the heparin/heparan biosynthetic precursor and to test them for their anti-HPV activity. Surface plasmon resonance assays revealed that O-sulfated K5 with a high degree of sulfation [K5-OS(H)] and N,O-sulfated K5 with a high [K5-N,OS(H)] or low [K5-N,OS(L)] sulfation degree, but not unmodified K5, N-sulfated K5, and O-sulfated K5 with low levels of sulfation, prevented the interaction between HPV-16 pseudovirions and immobilized heparin. In cell-based assays, K5-OS(H), K5-N,OS(H), and K5-N,OS(L) inhibited HPV-16, HPV-18, and HPV-6 pseudovirion infection. Their 50% inhibitory concentration was between 0.1 and 0.9 μg/mL, without evidence of cytotoxicity. These findings provide insights into the design of novel, safe, and broad-spectrum microbicides against genital HPV infections.
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AU Lembo, David; Donalisio, Manuela; Rusnati, Marco; Bugatti, Antonella; Cornaglia, Maura; Cappello, Paola; Giovarelli, Mirella; Oreste, Pasqua; Landolfo, Santo
AB . . . precursor and to test them for their anti-HPV activity. Surface plasmon resonance assays revealed that O-sulfated K5 with a high degree of sulfation [K5-OS(H)] and N,O-sulfated K5 with a high [K5-N,OS(H)] or low [K5-N,OS(L)] sulfation degree , but not unmodified K5, N-sulfated K5, and O-sulfated K5 with low levels of sulfation, prevented the interaction between HPV-16 pseudovirions and immobilized heparin. In cell-based assays, K5-OS(H), K5-N,OS(H), and K5-N,OS(L) inhibited HPV-16, HPV-18, and. . .
IT 78245-16-6D, repeating unit of 78245-16-6D, repeating unit of, N-sulfated derivs. 78245-16-6D, repeating unit of, high degree of N,O-sulfated derivs. 78245-16-6D, repeating unit of, high degree of O-sulfated derivs. 78245-16-6D, repeating unit of, low degree of N,O-sulfated derivs. 78245-16-6D, repeating unit of, low degree of O-sulfated derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sulfated K5 escherichia coli polysaccharide derivs. as widerange inhibitors of genital types of human papillomavirus)

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:486971 CAPLUS
DOCUMENT NUMBER: 141:87601
TITLE: Chemically sulfated Escherichia coli K5 polysaccharide derivatives as extracellular HIV-1 Tat protein antagonists
AUTHOR(S): Urbinati, Chiara; Bugatti, Antonella; Oreste, Pasqua; Zoppetti, Giorgio; Waltenberger, Johannes; Mitola, Stefania; Ribatti, Domenico; Presta, Marco; Rusnati, Marco
CORPORATE SOURCE: Unit of General Pathology and Immunology, Department of Biomedical Sciences and Biotechnology, School of

Medicine, University of Brescia, Brescia, 25123, Italy
SOURCE: FEBS Letters (2004), 568(1-3), 171-177
CODEN: FEBLAL; ISSN: 0014-5793
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The HIV-1 transactivating factor (Tat) acts as an extracellular cytokine on target cells, including endothelium. Here, we report about the Tat-antagonist capacity of chemical sulfated derivs. of the Escherichia coli K5 polysaccharide. O-sulfated K5 with high sulfation degree (K5-OS(H)) and N,O-sulfated K5 with high (K5-N,OS(H)) or low (K5-N,OS(L)) sulfation degree, but not unmodified K5, N-sulfated K5, and O-sulfated K5 with low sulfation degree, bind to Tat preventing its interaction with cell surface heparan sulfate proteoglycans, cell internalization, and consequent HIV-LTR-transactivation. Also, K5-OS(H) and K5-N,OS(H) prevent the interaction of Tat to the vascular endothelial growth factor receptor-2 on endothelial cell (EC) surface. Finally, K5-OS(H) inhibits $\alpha v\beta 3$ integrin/Tat interaction and EC adhesion to immobilized Tat. Consequently, K5-OS(H) and K5-N,OS(H) inhibit the angiogenic activity of Tat in vivo. In conclusion, K5 derivs. with distinct sulfation patterns bind extracellular Tat and modulate its interaction with cell surface receptors and affect its biol. activities. These findings provide the basis for the design of novel extracellular Tat antagonists with possible implications in anti-AIDS therapies.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AU Urbinati, Chiara; Bugatti, Antonella; Oreste, Pasqua; Zoppetti, Giorgio; Waltenberger, Johannes; Mitola, Stefania; Ribatti, Domenico; Presta, Marco; Rusnati, Marco

AB . . . we report about the Tat-antagonist capacity of chemical sulfated derivs. of the Escherichia coli K5 polysaccharide. O-sulfated K5 with high sulfation degree (K5-OS(H)) and N,O-sulfated K5 with high (K5-N,OS(H)) or low (K5-N,OS(L)) sulfation degree, but not unmodified K5, N-sulfated K5, and O-sulfated K5 with low sulfation degree, bind to Tat preventing its interaction with cell surface heparan sulfate proteoglycans, cell internalization, and consequent HIV-LTR-transactivation. Also, K5-OS(H) and. . . immobilized Tat. Consequently, K5-OS(H) and K5-N,OS(H) inhibit the angiogenic activity of Tat in vivo. In conclusion, K5 derivs. with distinct sulfation patterns bind extracellular Tat and modulate its interaction with cell surface receptors and affect its biol. activities. These findings provide. . .

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:1007021 CAPLUS
DOCUMENT NUMBER: 140:47543
TITLE: Low-molecular weight oversulfated polysaccharide
INVENTOR(S): Oreste, Pasqua Anna; Zoppetti, Giorgio
PATENT ASSIGNEE(S): Italy
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003106506 A1 20031224 WO 2003-IB2347 20030617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
IT 2002MI1345 A1 20031218 IT 2002-MI1345 20020618
IT 2002MI1346 A1 20031218 IT 2002-MI1346 20020618
CA 2489870 A1 20031224 CA 2003-2489870 20030617
AU 2003242881 A1 20031231 AU 2003-242881 20030617
BR 2003012197 A 20050405 BR 2003-12197 20030617
EP 1519961 A1 20050406 EP 2003-760100 20030617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
CN 1671744 A 20050921 CN 2003-817571 20030617
NZ 537217 A 20050930 NZ 2003-537217 20030617
JP 2005530877 T 20051013 JP 2004-513336 20030617
MX 2004PA12805 A 20050819 MX 2004-PA12805 20041216
IN 2004KN01962 A 20060728 IN 2004-KN1962 20041220
ZA 2004010357 A 20050721 ZA 2004-10357 20041223
ZA 2004010358 A 20050721 ZA 2004-10358 20041223
ZA 2004010359 A 20050721 ZA 2004-10359 20041223
NO 2005000247 A 20050316 NO 2005-247 20050117
US 20050245736 A1 20051103 US 2005-518229 20050606
PRIORITY APPLN. INFO.: IT 2002-MI1345 A 20020618
IT 2002-MI1346 A 20020618
IT 2002-MI1854 A 20020827
WO 2003-IB2347 W 20030617

AB Low-mol. weight (LMW) K5-N,O-oversulfates are described, having a sulfation degree of 3.2 to 4 and a mean mol. weight of about 3000 to about 6000, obtainable by depolymn. of corresponding K5-N,O-oversulfates or starting from LMW-K5-N-sulfates by O-oversulfation of a tertiary amine or quaternary ammonium salt thereof and subsequent N-resulfation of the K5-amine-O-oversulfate thus obtained. Furthermore, pharmaceutical compns. containing these LMW-K5-N,O-oversulfates having antiangiogenic and antiviral, in particular anti-HIV-1 activity are described. Intermediate LMW-K5-N-sulfates are also described.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Oreste, Pasqua Anna; Zoppetti, Giorgio

AB Low-mol. weight (LMW) K5-N,O-oversulfates are described, having a sulfation degree of 3.2 to 4 and a mean mol. weight of about 3000 to about 6000, obtainable by depolymn. of corresponding. . .

IT Angiogenesis inhibitors
Anti-AIDS agents
Antiviral agents
Deacetylation
Depolymerization
Drug delivery systems
Human
Reduction
Sulfation
(preparation of low-mol. weight oversulfated polysaccharide having antiangiogenic and antiviral activities)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:1007020 CAPLUS
DOCUMENT NUMBER: 140:47542
TITLE: Process for the manufacture of N-acyl-(epi)K5-amine-o-sulfate derivatives and products thus obtained
INVENTOR(S): Oreste, Pasqua Anna; Zoppetti, Giorgio
PATENT ASSIGNEE(S): Italy
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106505	A1	20031224	WO 2003-IB2339	20030617
WO 2003106505	A9	20040226		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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IT 2002MI1346	A1	20031218	IT 2002-MI1346	20020618
CA 2489866	A1	20031224	CA 2003-2489866	20030617
AU 2003240191	A1	20031231	AU 2003-240191	20030617
EP 1517924	A1	20050330	EP 2003-732806	20030617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
NZ 537216	A	20050527	NZ 2003-537216	20030617
CN 1675249	A	20050928	CN 2003-818933	20030617
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MX 2004PA12721	A	20050815	MX 2004-PA12721	20041215
IN 2004KN01961	A	20060707	IN 2004-KN1961	20041220
ZA 2004010357	A	20050721	ZA 2004-10357	20041223
ZA 2004010358	A	20050721	ZA 2004-10358	20041223
ZA 2004010359	A	20050721	ZA 2004-10359	20041223
NO 2005000245	A	20050316	NO 2005-245	20050117
US 20050256079	A1	20051117	US 2005-518303	20050526
PRIORITY APPLN. INFO.:			IT 2002-MI1345 A	20020618
			IT 2002-MI1346 A	20020618
			IT 2002-MI1854 A	20020827
			WO 2003-IB2339 W	20030617

AB A method is described for the oversulfation of (epi)KS-N-sulfates to obtain (epi)K5-amine-O-oversulfates at extremely high degree of sulfation and for the transformation of these intermediates into new N-acyl-(epi)K5-amine-O-oversulfates basically free of activity on the coagulation parameters and useful in the cosmetic or pharmaceutical field. Also described are pharmaceutical compns. containing, as one of their active ingredients, an (epi)K5-amine-O-oversulfate.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Oreste, Pasqua Anna; Zoppetti, Giorgio
AB A method is described for the oversulfation of (epi)KS-N-sulfates to obtain (epi)K5-amine-O-oversulfates at extremely high degree of sulfation and for the transformation of these intermediates into new N-acyl-(epi)K5-amine-O-oversulfates basically free of activity on the coagulation parameters and useful. . .
IT Acylation
Cosmetics
Depolymerization
Diastereomers
Drug delivery systems
Epimerization
Sulfation
(manufacturing of acyl-(epi)K5-amine sulfate derivs. for cosmetics and pharmaceuticals)

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:1007019 CAPLUS
DOCUMENT NUMBER: 140:47541
TITLE: Epimerized derivatives of K5 polysaccharide with a very high degree of sulfation
INVENTOR(S): Oreste, Pasqua Anna; Zoppetti, Giorgio
PATENT ASSIGNEE(S): Italy
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106504	A1	20031224	WO 2003-IB2338	20030617
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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IT 2002MI1346	A1	20031218	IT 2002-MI1346	20020618
CA 2489862	A1	20031224	CA 2003-2489862	20030617
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EP 1513880	A1	20050316	EP 2003-732805	20030617
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BR 2003012182	A	20050405	BR 2003-12182	20030617
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PRIORITY APPLN. INFO.:			IT 2002-MI1345	A	20020618
			IT 2002-MI1346	A	20020618
			IT 2002-MI1854	A	20020827
			WO 2003-IB2338	W	20030617

AB A method is described for the oversulfation of epiK5-N-sulfate to obtain an epiK5-amine-O-oversulfate with very high sulfation degree which, by subsequent N-sulfation, provides new epiK5-N,O-oversulfate-derivs. with a sulfation degree of at least 4, basically free of activity on the coagulation parameters and useful in the cosmetic or pharmaceutical field. Also described are new low mol. weight epiK5-N-sulfates useful as intermediates in the preparation of the corresponding LMW-epiK5-N,O-oversulfate-derivs.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Epimerized derivatives of K5 polysaccharide with a very high degree of sulfation

IN Oreste, Pasqua Anna; Zoppetti, Giorgio

AB A method is described for the oversulfation of epiK5-N-sulfate to obtain an epiK5-amine-O-oversulfate with very high sulfation degree which, by subsequent N-sulfation, provides new epiK5-N,O-oversulfate-derivs. with a sulfation degree of at least 4, basically free of activity on the coagulation parameters and useful in the cosmetic or pharmaceutical field.. . .

ST polysaccharide prepn Escherichia epimerization sulfation cosmetic pharmaceutical

IT Cosmetics
Deacetylation
Depolymerization
Diastereomers
Drug delivery systems
Epimerization
Escherichia coli
Sulfation
(preparation, epimerization and sulfation of K5 polysaccharide of Escherichia coli with very high degree of sulfation for cosmetics or pharmaceuticals)

IT Polysaccharides, preparation
Uronic acids
RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation, epimerization and sulfation of K5 polysaccharide of Escherichia coli with very high degree of sulfation for cosmetics or pharmaceuticals)

IT Polysaccharides, biological studies
RL: COS (Cosmetic use); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sulfated, epimers, salts; preparation, epimerization and sulfation of K5 polysaccharide of Escherichia coli with very high degree of sulfation for cosmetics or pharmaceuticals)

IT 7439-95-4, Magnesium, processes 7439-96-5, Manganese, processes 7440-39-3, Barium, processes 7440-70-2, Calcium, processes
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PROC (Process)
(epimerization in presence of; preparation, epimerization and

sulfation of K5 polysaccharide of Escherichia coli with very high degree of sulfation for cosmetics or pharmaceuticals)

IT 2052-49-5, Tetrabutylammonium hydroxide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation from Escherichia coli, epimerization and sulfation of K5 polysaccharide with very high degree of sulfation for cosmetics or pharmaceuticals)

IT 42615-44-1P, 5 K (Polysaccharide)
RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation, epimerization and sulfation of K5 polysaccharide of Escherichia coli with very high degree of sulfation for cosmetics or pharmaceuticals)

IT 3402-98-0, Iduronic acid 6556-12-3, Glucuronic acid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation, epimerization and sulfation of K5 polysaccharide of Escherichia coli with very high degree of sulfation for cosmetics or pharmaceuticals)

IT 112567-86-9, D-Glucuronyl C5-epimerase
RL: CAT (Catalyst use); USES (Uses)
(preparation, epimerization and sulfation of K5 polysaccharide of Escherichia coli with very high degree of sulfation for cosmetics or pharmaceuticals)

IT 42615-44-1DP, 5 K (Polysaccharide), sulfated, epimers, salts
RL: COS (Cosmetic use); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation, epimerization and sulfation of K5 polysaccharide of Escherichia coli with very high degree of sulfation for cosmetics or pharmaceuticals)

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:117638 CAPLUS
DOCUMENT NUMBER: 138:158842
TITLE: Oversulfated polysaccharides as inhibitors of HIV
INVENTOR(S): Zoppetti, Giorgio; Oreste, Pasqua Anna; Poli, Guido; Vicenzi, Elisa
PATENT ASSIGNEE(S): Fondazione Centro San Raffaele del Monte Tabor, Italy
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011307	A1	20030213	WO 2002-IB2909	20020726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IT 2001MI1633	A1	20030127	IT 2001-MI1633	20010727

CA 2454945	A1	20030213	CA 2002-2454945		20020726
AU 2002319837	A1	20030217	AU 2002-319837		20020726
EP 1411956	A1	20040428	EP 2002-749173		20020726
EP 1411956	B1	20050706			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
JP 2005519860	T	20050707	JP 2003-516537		20020726
AT 299027	T	20050715	AT 2002-749173		20020726
PT 1411956	T	20051031	PT 2002-749173		20020726
ES 2246406	T3	20060216	ES 2002-749173		20020726
US 20050009780	A1	20050113	US 2004-484883		20040818
US 7268122	B2	20070911			
PRIORITY APPLN. INFO.:			IT 2001-MI1633	A	20010727
			WO 2002-IB2909	W	20020726

AB The present invention relates to the use of N,O oversulfated K5 derivs. having a degree of sulfation >3.2 or of their pharmaceutically acceptable salts for the preparation of pharmaceutical compns. for treating the infection and the consequent HIV/AIDS disease. Thus, K5 (polysaccharide) was obtained from E. coli. by a fermentation process, and purified. A N,O-oversulfated K5 was prepared from K5 (polysaccharide), by deacetylation with NaOH solution followed by the N-sulfation and O-oversulfation.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Zoppetti, Giorgio; Oreste, Pasqua Anna; Poli, Guido; Vicenzi, Elisa

AB The present invention relates to the use of N,O oversulfated K5 derivs. having a degree of sulfation >3.2 or of their pharmaceutically acceptable salts for the preparation of pharmaceutical compns. for treating the infection and the consequent. . . fermentation process, and purified. A N,O-oversulfated K5 was prepared from K5 (polysaccharide), by deacetylation with NaOH solution followed by the N-sulfation and O-oversulfation.

IT AIDS (disease)
Anti-AIDS agents
Drug delivery systems
Human
Human immunodeficiency virus 1
Molecular weight distribution
Sulfation
(oversulfated polysaccharides as inhibitors of HIV)

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2003:64061 CAPLUS
DOCUMENT NUMBER:	139:254763
TITLE:	Broad spectrum inhibition of HIV-1 infection by sulfated K5 Escherichia coli polysaccharide derivatives
AUTHOR(S):	Vicenzi, Elisa; Gatti, Alessandra; Ghezzi, Silvia; Oreste, Pasqua; Zoppetti, Giorgio; Poli, Guido
CORPORATE SOURCE:	AIDS Immunopathogenesis Unit, San Raffaele Scientific Institute, Milan, Italy
SOURCE:	AIDS (London, United Kingdom) (2003), 17(2), 177-181 CODEN: AIDSET; ISSN: 0269-9370
PUBLISHER:	Lippincott Williams & Wilkins
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB HIV-1 entry into CD4 cells represents a main target for developing novel antiretroviral agents and microbicides. Sulfated derivs. of the K5

polysaccharide have a backbone structure resembling the heparin precursor, but are devoid of anticoagulant activity. The derivs. were chemical sulfated in the N position after N-deacetylation, in the O position, or in both sites. HIV replication in human T cell blasts, monocyte-derived macrophages and cell lines was studied in the presence of sulfated K5 derivs. O-sulfated [K5-OS(H)] and N,O-sulfated [K5-N,OS(H)] K5 derivs. with high degree of sulfation inhibited the replication of an HIV strain using CXCR4 as entry co-receptor (X4 virus) in both cell lines and T-cell blasts. K5 derivs. also strongly inhibited the multiplication of CCR5-dependent HIV (R5 virus) in cell lines, T-cell blasts and primary monocyte-derived macrophages. Their 50% inhibitory concentration was between 0.07 and 0.46 μM, without evidence of cytotoxicity even at the maximal concentration tested (9 μM). In addition, both K5-N,OS(H) and K5-OS(H) potently inhibited the replication of several primary HIV-1 isolates in T-cell blasts, with K5-N,OS(H) being more active than K5-OS(H) on dual tropic R5X4 strains. K5 derivs. inhibited the early steps of virion attachment and/or entry. Because K5 derivs. are unlikely to penetrate into cells they may represent potential topical microbicides for the prevention of sexual HIV-1 transmission.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AU Vicenzi, Elisa; Gatti, Alessandra; Ghezzi, Silvia; Oreste, Pasqua ; Zoppetti, Giorgio; Poli, Guido

AB . . . cell lines was studied in the presence of sulfated K5 derivs. O-sulfated [K5-OS(H)] and N,O-sulfated [K5-N,OS(H)] K5 derivs. with high degree of sulfation inhibited the replication of an HIV strain using CXCR4 as entry co-receptor (X4 virus) in both cell lines and T-cell. . .

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:813944 CAPLUS

DOCUMENT NUMBER: 137:304779

TITLE: Use of sulfated bacterial polysaccharides suitable for the inhibition of angiogenesis

INVENTOR(S): Zoppetti, Giorgio; Oreste, Pasqua Anna; Presta, Marco

PATENT ASSIGNEE(S): Universita Degli Studi Di Brescia, Italy

SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083155	A1	20021024	WO 2002-IB1138	20020410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IT 2001MI0779	A1	20021014	IT 2001-MI779	20010412
AU 2002251412	A1	20021028	AU 2002-251412	20020410
PRIORITY APPLN. INFO.:			IT 2001-MI779 A	20010412
			WO 2002-IB1138 W	20020410

AB The present invention refers to the use of N,O-sulfated K5 having a degree of sulfation of at least 2, and of their pharmaceutical acceptable salts for the preparation of medicaments for treating angiogenesis-dependent diseases.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Zoppetti, Giorgio; Oreste, Pasqua Anna; Presta, Marco

AB The present invention refers to the use of N,O-sulfated K5 having a degree of sulfation of at least 2, and of their pharmaceutical acceptable salts for the preparation of medicaments for treating angiogenesis-dependent diseases.

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:676062 CAPLUS

DOCUMENT NUMBER: 137:200359

TITLE: Highly sulfated derivatives of k5 polysaccharide and their preparation

INVENTOR(S): Zoppetti, Giorgio; Oreste, Pasqua Anna

PATENT ASSIGNEE(S): Italy

SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068477	A1	20020906	WO 2002-IB561	20020226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2439337	A1	20020906	CA 2002-2439337	20020226
AU 2002236118	A1	20020912	AU 2002-236118	20020226
AU 2002236118	B2	20070510		
EP 1366082	A1	20031203	EP 2002-702593	20020226
EP 1366082	B1	20060104		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1529715	A	20040915	CN 2002-808887	20020226
CN 1284800	C	20061115		
JP 2004529227	T	20040924	JP 2002-567987	20020226
AT 315049	T	20060215	AT 2002-702593	20020226
ES 2254645	T3	20060616	ES 2002-702593	20020226
CN 1916030	A	20070221	CN 2006-10127561	20020226
US 20040077848	A1	20040422	US 2003-469037	20030826
US 6992183	B2	20060131		
US 20050004358	A1	20050106	US 2004-902285	20040730
US 20080146793	A1	20080619	US 2007-984482	20071119

PRIORITY APPLN. INFO.:

IT 2001-MI397	A	20010227
CN 2002-808887	A3	20020226
WO 2002-IB561	W	20020226
US 2003-469037	A3	20030826

AB The purification of the Escherichia coli K5 polysaccharide by treatment with iso-Pr alc. and elimination of lipophilic substances is described. The purified product can be used to prepare, after N-deacetylation, new N,O-sulfated polysaccharides with high degree of sulfation.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Zoppetti, Giorgio; Oreste, Pasqua Anna

AB . . . . of lipophilic substances is described. The purified product can be used to prepare, after N-deacetylation, new N,O-sulfated polysaccharides with high degree of sulfation.

ST polysaccharide sulfation

IT Escherichia coli
Sulfation
(highly sulfated derivs. of k5 polysaccharide and their preparation)

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:817859 CAPLUS

DOCUMENT NUMBER: 136:128792

TITLE: Fibroblast growth factor-2 antagonist activity and angiostatic capacity of sulfated Escherichia coli K5 polysaccharide derivatives

AUTHOR(S): Leali, Daria; Belleri, Mirella; Urbinati, Chiara; Coltrini, Daniela; Oreste, Pasqua; Zoppetti, Giorgio; Ribatti, Domenico; Rusnati, Marco; Presta, Marco

CORPORATE SOURCE: Unit of General Pathology and Immunology, Department of Biomedical Sciences and Biotechnology, School of Medicine, University of Brescia, Brescia, 25123, Italy

SOURCE: Journal of Biological Chemistry (2001), 276(41), 37900-37908
CODEN: JBCHA3; ISSN: 0021-9258

PUBLISHER: American Society for Biochemistry and Molecular Biology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The angiogenic basic fibroblast growth factor (FGF2) interacts with tyrosine kinase receptors (FGFRs) and heparan sulfate proteoglycans (HSPGs) in endothelial cells. Here, we report the FGF2 antagonist and antiangiogenic activity of novel sulfated derivs. of the Escherichia coli K5 polysaccharide. K5 polysaccharide was chemical sulfated in N- and/or O-position after N-deacetylation. O-Sulfated and N,O-sulfated K5 derivs. with a low degree and a high degree of sulfation compete with heparin for binding to 125I-FGF2 with different potency. Accordingly, they abrogate the formation of the HSPG·FGF2·FGFR ternary complex, as evidenced by their capacity to prevent FGF2-mediated cell-cell attachment of FGFR1-overexpressing HSPG-deficient Chinese hamster ovary (CHO) cells to wild-type CHO cells. They also inhibited 125I-FGF2 binding to FGFR1-overexpressing HSPG-bearing CHO cells and adult bovine aortic endothelial cells. K5 derivs. also inhibited FGF2-mediated cell proliferation in endothelial GM 7373 cells and in human umbilical vein endothelial (HUVE) cells. In all these assays, the N-sulfated K5 derivative and unmodified K5 were poorly effective. Also, highly O-sulfated and N,O-sulfated K5 derivs. prevented the sprouting of FGF2-transfected endothelial FGF2-T-MAE cells in fibrin gel and spontaneous angiogenesis in vitro on Matrigel of FGF2-T-MAE and HUVE cells. Finally, the highly N,O-sulfated K5 derivative exerted a potent antiangiogenic activity on the chick embryo chorioallantoic membrane. These data demonstrate the

possibility of generating FGF2 antagonists endowed with antiangiogenic activity by specific chemical sulfation of bacterial K5 polysaccharide. In particular, the highly N,O-sulfated K5 derivative may provide the basis for the design of novel angiostatic compds.

REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AU Leali, Daria; Belleri, Mirella; Urbinati, Chiara; Coltrini, Daniela; Oreste, Pasqua; Zoppetti, Giorgio; Ribatti, Domenico; Rusnati, Marco; Presta, Marco

AB . . . polysaccharide. K5 polysaccharide was chemical sulfated in N- and/or O-position after N-deacetylation. O-Sulfated and N,O-sulfated K5 derivs. with a low degree and a high degree of sulfation compete with heparin for binding to 125I-FGF2 with different potency. Accordingly, they abrogate the formation of the HSPG·FGF2·FGFR ternary complex,. . . chick embryo chorioallantoic membrane. These data demonstrate the possibility of generating FGF2 antagonists endowed with antiangiogenic activity by specific chemical sulfation of bacterial K5 polysaccharide. In particular, the highly N,O-sulfated K5 derivative may provide the basis for the design of novel. . .

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:441829 CAPLUS

DOCUMENT NUMBER: 119:41829

ORIGINAL REFERENCE NO.: 119:7459a,7462a

TITLE: Biochemical bases of the interaction of human basic fibroblast growth factor with glycosaminoglycans. New insights from trypsin digestion studies

AUTHOR(S): Coltrini, Daniela; Rusnati, Marco; Zoppetti, Giorgio; Oreste, Pasqua; Isacchi, Antonella; Caccia, Paolo; Bergonzoni, Laura; Presta, Marco

CORPORATE SOURCE: Sch. Med., Univ. Bresica, Italy

SOURCE: European Journal of Biochemistry (1993), 214(1), 51-8
CODEN: EJBCAI; ISSN: 0014-2956

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In the present study the authors have attempted a characterization of the biochem. bases of the interaction of human basic fibroblast growth factor (bFGF) with glycosaminoglycans (GAGs) in solution This interaction has been evidenced as the capacity of different GAGs and various sulfated compds. to protect bFGF and different bFGF mutants from tryptic cleavage. Heparin protects bFGF from trypsin digestion in a dose-dependent fashion. Substitution by site-directed mutagenesis of two or more basic residues with neutral glutamine residues in the amino-terminal region bFGF(27-32) or in the carboxyl-terminal region bFGF(118-129) does not significantly affect the protective effect exerted by heparin. In contrast, heparin protection is abolished when the full region bFGF(27-32) is deleted. The capacity of different GAGs to protect bFGF from proteolytic cleavage decreases in the following order: heparin > heparan sulfate > dermatan sulfate = chondroitin sulfates A and C > hyaluronic acid = K5 polysaccharide, indicating that both the degree of sulfation and the backbone structure of GAG modulate its interaction with bFGF. This is confirmed by the different capacity of various sulfated compds. (including dextran sulfates, suramin, trypan blue, and sulfate ion) to protect bFGF from tryptic digestion. Moreover, tryptic digestion studies performed with various heparin mols. and dextran sulfates of different size, ranging from 2.0 kDa to 500 kDa, indicate that the number of bFGF mols. which interact with a single mol. of polysaccharide is related to the mol. mass of the GAG and that six hexose residues are

sufficient to protect 1-2 mols. bFGF. In conclusion, the authors findings indicate that the capacity of GAGs to protect bFGF from tryptic cleavage depends upon their size, sulfation, distribution of the anionic sites along the chain, and structural requirements of the bFGF mol. These studies will help to design synthetic oligosaccharides endowed with different bFGF agonist and/or antagonist activities.

AU Coltrini, Daniela; Rusnati, Marco; Zoppetti, Giorgio; Oreste, Pasqua; Isacchi, Antonella; Caccia, Paolo; Bergonzoni, Laura; Presta, Marco

AB . . . sulfate > dermatan sulfate = chondroitin sulfates A and C > hyaluronic acid = K5 polysaccharide, indicating that both the degree of sulfation and the backbone structure of GAG modulate its interaction with bFGF. This is confirmed by the different capacity of various. . . conclusion, the authors findings indicate that the capacity of GAGs to protect bFGF from tryptic cleavage depends upon their size, sulfation, distribution of the anionic sites along the chain, and structural requirements of the bFGF mol. These studies will help to. . .

```
=> epi near k5
         14374 EPI
            65 EPIS
         14412 EPI
                  (EPI OR EPIS)
        637092 NEAR
           379 NEARS
        637422 NEAR
                  (NEAR OR NEARS)
          3112 K5
L5           0 EPI NEAR K5
                  (EPI(W)NEAR(W)K5)

=> epik5
L6           2 EPIK5

=> d l6 1-2 ti

L6   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Anticoagulant and antithrombotic low-molecular-weight glycosaminoglycans
     derived from k5 polysaccharide and process for their preparation

L6   ANSWER 2 OF 2  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Epimerized derivatives of K5 polysaccharide with a very high degree of
     sulfation


=> k5
L7        3112 K5

=> l7 and epi
         14374 EPI
            65 EPIS
         14412 EPI
                  (EPI OR EPIS)
L8           6 L7 AND EPI

=> d l8 1-6 ti
```

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Inhibition of herpes simplex virus types 1 and 2 in vitro infection by sulfated derivatives of Escherichia coli K5 polysaccharide

L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Cytokine gene expression and production by human LPS-stimulated mononuclear cells are inhibited by sulfated heparin-like semi-synthetic derivatives

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Real-time monitoring of keratin 5 expression during burn re-epithelialization1

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Process for the manufacture of N-acyl-(epi)K5 -amine-o-sulfate derivatives and products thus obtained

L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI O-Sulfated bacterial polysaccharides and their use

L8 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Kinetic behavior of the long-lived p-anisylcamphenilyl cation in formic acid solutions

=> d l8 1-6 ibib abs

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:1193474 CAPLUS
TITLE: Inhibition of herpes simplex virus types 1 and 2 in vitro infection by sulfated derivatives of Escherichia coli K5 polysaccharide
AUTHOR(S): Pinna, Debora; Oreste, Pasqua; Coradin, Tiziana; Kajaste-Rudnitski, Anna; Ghezzi, Silvia; Zoppetti, Giorgio; Rotola, Antonella; Argnani, Rafaela; Poli, Guido; Manservigi, Roberto; Vicenzi, Elisa
CORPORATE SOURCE: Viral Pathogens and Biosafety Unit, San Raffaele Scientific Institute, Milan, Italy
SOURCE: Antimicrobial Agents and Chemotherapy (2008), 52(9), 3078-3084
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Herpes simplex virus type 1 (HSV-1) and HSV-2 are neurotropic viruses and common human pathogens causing major public health problems such as genital herpes, a sexually transmitted disease also correlated with increased transmission and replication of human immunodeficiency virus type 1 (HIV-1). Therefore, compds. capable of blocking HIV-1, HSV-1, and HSV-2 transmission represent candidate microbicides with a potential added value over that of mols. acting selectively against either infection. We report here that sulfated derivs. of the Escherichia coli K5 polysaccharide, structurally highly similar to heparin and previously shown to inhibit HIV-1 entry and replication in vitro, also exert suppressive activities against both HSV-1 and HSV-2 infections. In particular, the N,O-sulfated [K5-N,OS(H)] and O-sulfated epimerized [Epi-K5-OS(H)] forms inhibited the infection of Vero cells by HSV-1 and -2, with 50% inhibitory concns. (IC50) between 3 ± 0.05 and 48 ± 27 nM, and were not toxic to the cells at concns. as high as 5 μM. These compds. impaired the early

steps of HSV-1 and HSV-2 virion attachment and entry into host cells and reduced the cell-to-cell spread of HSV-2. Since K5-N,OS(H) and Epi-K5-OS(H) also inhibit HIV-1 infection, they may represent valid candidates for development as topical microbicides preventing sexual transmission of HIV-1, HSV-1, and HSV-2.

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:859878 CAPLUS

DOCUMENT NUMBER: 142:54677

TITLE: Cytokine gene expression and production by human LPS-stimulated mononuclear cells are inhibited by sulfated heparin-like semi-synthetic derivatives

AUTHOR(S): Gori, A. M.; Attanasio, M.; Gazzini, A.; Rossi, L.; Lucarini, L.; Miletti, S.; Chini, J.; Manoni, M.; Abbate, R.; Gensini, G. F.

CORPORATE SOURCE: Department of Medical and Surigal Critical Care, Section of Clinical Medicine and Cardiology, University of Florence, Florence, Italy

SOURCE: Journal of Thrombosis and Haemostasis (2004), 2(9), 1657-1662
CODEN: JTHOA5; ISSN: 1538-7933

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Background: The K5 polysaccharide obtained from Escherichia coli strain O10:K5:H4 is a polymer of the disaccharidic unit formed by D-glucuronic acid and N-acetylglucosamine. This structure is akin to N-acetylheparosan, the precursory polymer of heparin and of heparan sulfate. This structural affinity with N-acetylated heparin and with desulfated heparin makes the K5 polysaccharide extremely useful for the preparation of sulfated heparin-like semi-synthetic derivs. It has been demonstrated that heparins are able to inhibit tissue factor and cytokine production and expression by human monocytes. Objective: The aim of this study was to evaluate the effects of four different heparin-like semi-synthetic derivs. on inflammatory cytokine production and expression by human mononuclear cells. Results: The simultaneous addition of lipopolysaccharide (LPS; 0.2 and 10 μg mL-1) and the K5 polysaccharide did not inhibit interleukin (IL)-1β, IL-6 or tumor necrosis factor (TNF)-α production by stimulated mononuclear cells. IL-1β, IL-6 and TNF-α concns. in supernatants of LPS-stimulated mononuclear cells were not influenced by the addition of N,O-sulfated K5 polysaccharide (KS-N, OS) and epimerized N-sulfated K5 polysaccharide (K5 NS epi) at 5 and 10 μg mL-1, whereas the addition of epimerized N,O-sulfated K5 polysaccharide (K5-N, OS epi) (5 and 10 μg mL-1) and O-sulfated K5 polysaccharide (K5-OS) (5 and 10 μg mL-1) to LPS-stimulated cells caused a significant dose-dependent inhibition of IL-1β, IL-6 and TNF-α. All sulfated heparin-like semi-synthetic derivs. did not influence the IL-10 production by LPS-stimulated mononuclear cells. In LPS-stimulated cells (0.2 and 10 μg mL-1) K5-OS or K5-N, OS epi at 5 and 10 μg mL-1 markedly decreased TNF-α mRNA expression. Conclusions: These results indicate that the sulfated heparin-like semi-synthetic derivs. K5-OS and K5-N, OS epi are able to inhibit both expression and production of inflammatory cytokines, whereas they do not influence the anti-inflammatory cytokine IL-10, suggesting a potential role for these products as modulators of inflammatory reactions.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:443522 CAPLUS
DOCUMENT NUMBER: 141:172090
TITLE: Real-time monitoring of keratin 5 expression during burn re-epithelialization1
AUTHOR(S): Bruen, Kevin J.; Campbell, Chris A.; Schooler, Wesley G.; de Serres, Suzan; Cairns, Bruce A.; Hultman, C. Scott; Meyer, Anthony A.; Randell, Scott H.
CORPORATE SOURCE: Department of Surgery, University of North Carolina at Chapel Hill, Chapel Hill, NC, USA
SOURCE: Journal of Surgical Research (2004), 120(1), 12-20
CODEN: JSGRA2; ISSN: 0022-4804
PUBLISHER: Elsevier Science
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Keratin is a major protein produced during epithelialization following burn injury and is a useful marker for assessing wound healing. Transgenic mice expressing enhanced green fluorescent protein (EGFP) driven by the keratin 5 (K5) promoter (K5GFP mice) were used to monitor keratin expression, and thus, re-epithelialization of burn wounds. K5GFP transgenic mice were created using conventional techniques, with PCR and Southern blot confirmation of transgene incorporation, followed by selection of the line with the most intense and consistent basal epithelial EGFP expression. Epi-fluorescent microscopy of 24 K5GFP mouse flanks and 10 neg. littermate controls was used to characterize EGFP intensity, before wounding and serially for 30 days after administration of a standardized burn wound and excision. Biopsy sections of K5GFP and neg. control mice were stained with K5 antibody and imaged with confocal microscopy to characterize the distribution of EGFP and K5 at baseline and after injury and to examine the correlation between K5 expression and EGFP expression during healing. Green fluorescence intensity increased at the advancing wound margin of burned K5GFP mice, reaching a maximum between days 12 and 15 post-burn and then decreasing as healing completed. K5 and EGFP expression increased in parallel in burned K5GFP mice as demonstrated by confocal microscopy. Thus, EGFP expression correlated with K5 expression during wound healing and therefore serves as a good marker of re-epithelialization. This transgenic model allows noninvasive, real-time assessment of in vivo K5 expression and will be useful in the study of wound healing.
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:1007020 CAPLUS
DOCUMENT NUMBER: 140:47542
TITLE: Process for the manufacture of N-acyl-(epi) K5-amine-o-sulfate derivatives and products thus obtained
INVENTOR(S): Oreste, Pasqua Anna; Zoppetti, Giorgio
PATENT ASSIGNEE(S): Italy
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106505	A1	20031224	WO 2003-IB2339	20030617
WO 2003106505	A9	20040226		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IT 2002MI1345	A1	20031218	IT 2002-MI1345	20020618
IT 2002MI1346	A1	20031218	IT 2002-MI1346	20020618
CA 2489866	A1	20031224	CA 2003-2489866	20030617
AU 2003240191	A1	20031231	AU 2003-240191	20030617
EP 1517924	A1	20050330	EP 2003-732806	20030617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
NZ 537216	A	20050527	NZ 2003-537216	20030617
CN 1675249	A	20050928	CN 2003-818933	20030617
JP 2005536577	T	20051202	JP 2004-513335	20030617
MX 2004PA12721	A	20050815	MX 2004-PA12721	20041215
IN 2004KN01961	A	20060707	IN 2004-KN1961	20041220
ZA 2004010357	A	20050721	ZA 2004-10357	20041223
ZA 2004010358	A	20050721	ZA 2004-10358	20041223
ZA 2004010359	A	20050721	ZA 2004-10359	20041223
NO 2005000245	A	20050316	NO 2005-245	20050117
US 20050256079	A1	20051117	US 2005-518303	20050526
PRIORITY APPLN. INFO.:			IT 2002-MI1345 A	20020618
			IT 2002-MI1346 A	20020618
			IT 2002-MI1854 A	20020827
			WO 2003-IB2339 W	20030617

AB A method is described for the oversulfation of (epi)KS-N-sulfates to obtain (epi)K5-amine-O-oversulfates at extremely high degree of sulfation and for the transformation of these intermediates into new N-acyl-(epi)K5-amine-O-oversulfates basically free of activity on the coagulation parameters and useful in the cosmetic or pharmaceutical field. Also described are pharmaceutical compns. containing, as one of their active ingredients, an (epi)K5-amine-O-oversulfate.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:991164 CAPLUS
DOCUMENT NUMBER: 140:23239
TITLE: O-Sulfated bacterial polysaccharides and their use
INVENTOR(S): Manoni, Marco; Miletti, Sandro; Cipolletti, Giovanni; Abbate, Rosanna; Gori, Maria Anna
PATENT ASSIGNEE(S): Inalco S.P.A., Italy
SOURCE: U.S. Pat. Appl. Publ., 17 pp., nones
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030232785	A1	20031218	US 2003-347992	20030121
US 6900311	B2	20050531		
IT 2002MI1294	A1	20031212	IT 2002-MI1294	20020612
CA 2489293	A1	20031224	CA 2003-2489293	20030612
WO 2003106503	A1	20031224	WO 2003-EP6164	20030612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003242681	A1	20031231	AU 2003-242681	20030612
EP 1521778	A1	20050413	EP 2003-759939	20030612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050234014	A1	20051020	US 2005-131636	20050517
PRIORITY APPLN. INFO.:			IT 2002-MI1294 A	20020612
			US 2003-347992 A1	20030121
			WO 2003-EP6164 W	20030612

AB The present invention refers to the preparation of O-sulfated, N-sulfated or N-acetylated derivs., both epimerized or non epimerized, of K5, K4, and optionally defructosylated K4 and K40 polysaccharides from Escherichia coli and to their use as antiinflammatory agents in chronic and acute inflammations. These compds., and in particular O-sulfated, N-acetylated K5 (K5-OSNAc) and O-sulfated, N-sulfated epimerized K5 (K5OSNS epi) obtained according to the present invention show a specific activity on the main cytokines involved in the inflammatory processes inhibiting especially the production of Tumor necrosis factor alpha, interleukin 1 beta and interleukin 6.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1961:131412 CAPLUS
DOCUMENT NUMBER:	55:131412
ORIGINAL REFERENCE NO.:	55:24810a-e
TITLE:	Kinetic behavior of the long-lived p-anisylcamphenilyl cation in formic acid solutions
AUTHOR(S):	Bartlett, Paul D.; Dills, Charles E.; Richey, Herman G., Jr.
CORPORATE SOURCE:	Harvard Univ.
SOURCE:	Journal of the American Chemical Society (1960), 82, 5414-19 CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE:	Journal
LANGUAGE:	Unavailable

AB Kinetic evidence, together with preparative evidence previously reported (CA 54, 22398h), leads to an interpretation of the behavior of the long-lived p-anisylcamphenilyl cation in formic acid solns. The rate consts. ka, kb, kc, and kd are all too rapid to measure. When p-anisylcamphenilol (I) or p-anisylapocamphene (II) is dissolved in 96.8% formic acid, the fraction F of the material existing as the carbonium ion

(λmaximum 384 mμ, ε 51,000) is the sum of two exponentials. Application of an integrated form of eq. 1 allows evaluation of the following rate consts. in sec.−1 at 25°: k1 = 4.78 + 10−3, k2ko/kd = 1.21 + 10−3, k3 = 0.53 + 10−3, k4ko/kd= 0.69 + 10−3. k1 is believed to represent the rate constant for a Nametkin rearrangement within the carbonium ion. In 100% formic acid the optical d. of a solution of p-anisylapocamphene reaches a maximum within 2 min. The rate consts. have been approx. evaluated and it appears that the only important difference from 96.8% formic acid is the increase of 0.86 unit in the neg. value of the acidity function H0, which correspondingly increases the value kc/kd. Solns. of p-anisylapocyclene (III), epi-p-anisylcamphenilol, the formates isolated from reaction of I in formic acid for four min. and four hrs., and alcs. obtained from such formates all follow eq. 1. III is equilibrated with the carbonium ion much more slowly than II but is favored at equilibrium relative to II. The rate constant for racemization (−)-II in formic acid, 6 + 10−4, is close to that predicted using values of k5 and k6 estimated from the spectrophotometric kinetic measurements on III, the only sym. compound in the series.

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     (FILE 'HOME' ENTERED AT 09:07:46 ON 24 NOV 2008)

     FILE 'CAPLUS' ENTERED AT 09:08:22 ON 24 NOV 2008
                E ORESTE PASQUA/AU
L1           46 S E2-E4
                E ZOPPETTI GIORGIO/AU
L2           69 S E2-E3
L3           79 L1 OR L2
L4           11 L3 AND DEGREE AND SULFATION

     FILE 'STNGUIDE' ENTERED AT 09:09:29 ON 24 NOV 2008

     FILE 'CAPLUS' ENTERED AT 09:14:50 ON 24 NOV 2008
L5            0 EPI NEAR K5
L6            2 EPIK5
L7         3112 K5
L8            6 L7 AND EPI
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